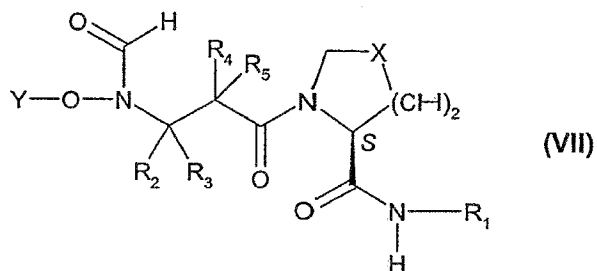


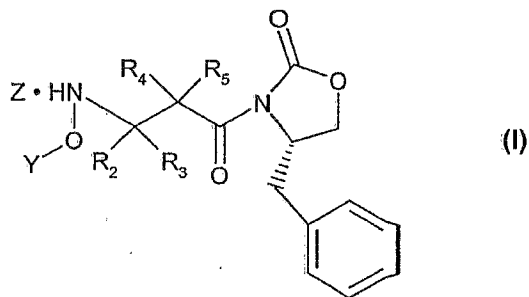
WHAT IS CLAIMED IS:

1. A process for preparing a compound of the formula (VII)

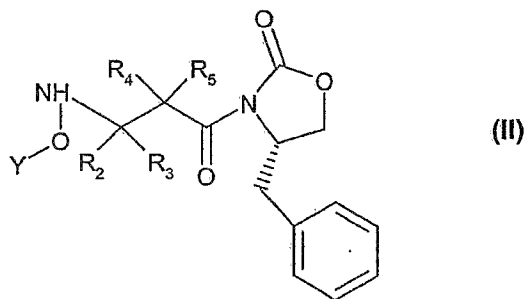


comprising Step 1A:

contacting a compound of the formula (I)

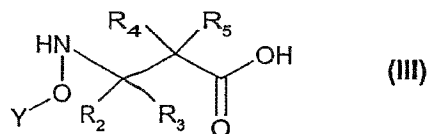


with a base in a suitable solvent to form the free base of compound (I), i.e., compound (II) of the formula (II)



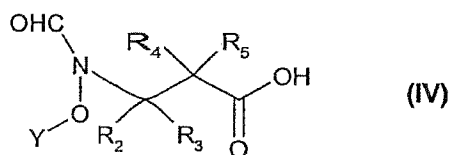
followed by Step 1B:

contacting compound (II) with a strong nucleophile/weak base in a suitable solvent under conditions to form compound (III) of the formula (III)



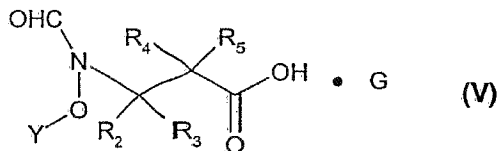
followed by Step 2A:

contacting compound (III) with a formylating agent in a suitable solvent under conditions suitable to form a compound of formula (IV)



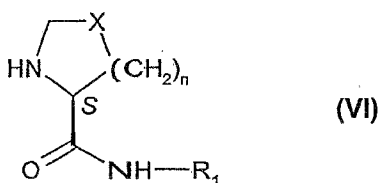
followed by Step 2B:

contacting compound (IV) with an amine or an alkaline metal hydroxide in a suitable solvent under conditions to form a compound of formula (V)



followed by Step 3:

contacting compound (V) with a compound of formula (VI)



in the presence of a suitable base and one or more coupling agents in a suitable solvent under conditions to form a compound of formula (VII)

wherein

Y is a hydroxy protecting group;

each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇ cycloalkyl;

G is $-O^{\ominus}\text{metal}^{\oplus}$ or $-OH\cdot\text{amine}$;

X is $-\text{CH}_2-$, $-\text{S}-$, $-\text{CH}(\text{OH})-$, $-\text{CH}(\text{OR})-$, $-\text{CH}(\text{SH})-$, $-\text{CH}(\text{SR})-$, $-\text{CF}_2-$, $-\text{C}=\text{N}(\text{OR})-$ or $-\text{CH}(\text{F})-$;

R is alkyl;

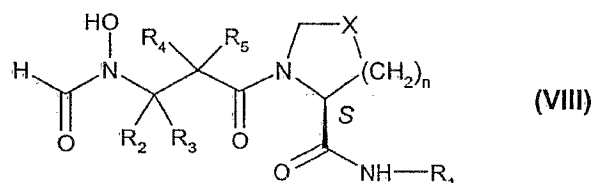
R₁ is aryl or heteroaryl;

Z is a strong organic or inorganic acid; and

n is 0-3, provided that when n is 0, X is -CH₂-.

2. The process of Claim 1 followed by Step 4, contacting the compound of formula VII, wherein R₁ is heteroaryl having an N heteroatom, with an oxidizing agent to form the corresponding N-oxide derivative.

3. The process of Claim 2 followed by the additional step of removing the hydroxyl protecting group of compound VII to form the compound of formula VIII:



wherein R_1 , R_2 , R_3 , R_4 , R_5 , X and n are as defined above.

4. The process of Claim 1,
wherein

each of R₂, R₃ and R₅ is hydrogen;

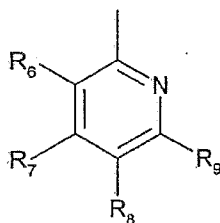
R₄ is butyl;

X is $-\text{CH}_2-$;

n is 1;

Y is benzyl or *t*-butyldimethylsilyl; and

R₁ is of the formula



wherein

R_6 and R_9 are hydrogen;

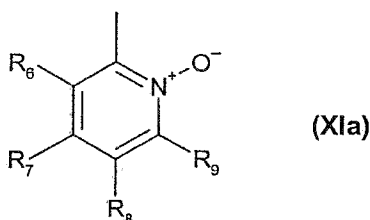
R_7 is hydrogen or C_{1-7} alkyl; and
 R_8 is hydrogen, halogen or C_{1-7} alkyl.

5. The process of Claim 4,
 wherein

R_7 is hydrogen; and

R_8 is fluoro.

6. The process of claim 1, wherein R_1 is of the formula (XIa)



each of R_2 , R_3 and R_5 is hydrogen;

R_4 is butyl;

X is $-CH_2-$;

n is 1;

Y is benzyl or t-butyl dimethylsilyl;

R_6 and R_9 are hydrogen;

R_7 is hydrogen or C_{1-7} alkyl; and

R_8 is hydrogen, halogen or C_{1-7} alkyl.

7. The process of Claim 6 wherein R_8 is halo or ethyl.

8. The process of Claim 6 wherein R_7 is hydrogen and R_8 is fluoro.

9. The process of Claim 1 wherein

for Step 1A the temperature is about 10°C to about 40°C , the water soluble base is sodium carbonate, sodium bicarbonate, potassium carbonate, potassium bicarbonate, or an alkaline metal hydroxide, and the solvent is water/ethyl acetate,

for Step 1B the temperature is about -10°C to about 10°C , the strong nucleophile/weak base is lithium hydroperoxide, and the solvent is THF/water,

for Step 2A the temperature is about -20°C to about 20°C , the formylating agent is formic acetic anhydride, and the solvent is ethyl acetate,

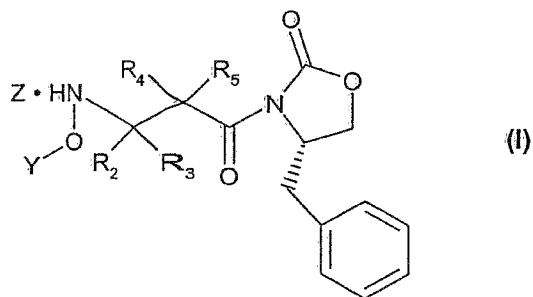
for Step 2B the temperature is about -5°C to about 40°C , the solvent is heptane and the G substituent is of the formula $-\text{OH}\cdot\text{amine}$ wherein the amine is dicyclohexylamine,

for Step 3 the temperature is about 10°C to about 40°C the solvent is water/ethyl acetate, and the coupling agent is EDCI/HOBt, and

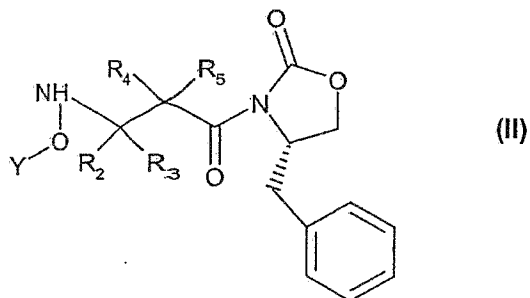
for Step 4 the temperature is about 10°C to about 35°C , the solvent is ethyl acetate and the oxidizing agent is urea/hydrogen peroxide with phthalic anhydride or magnesium monoperoxyphthalate.

10. A process comprising

contacting a compound of the formula (I)



with a base in a suitable solvent to form compound (II) of formula



wherein

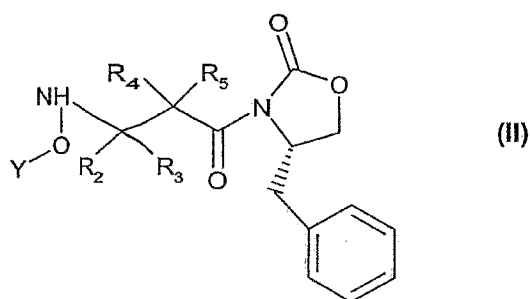
Y is a hydroxy protecting group;

each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇cycloalkyl;

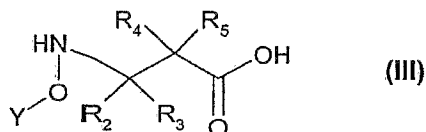
and Z is a strong organic or inorganic acid.

11. A process comprising

contacting compound (II) of the formula



with a strong nucleophile/weak base in a suitable solvent under conditions to form compound (III) of the formula



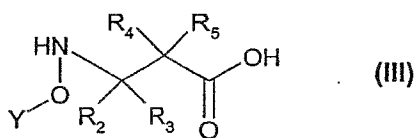
wherein

Y is a hydroxy protecting group; and

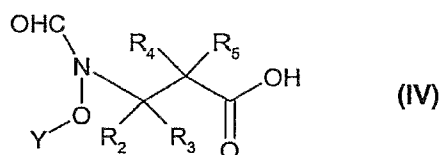
each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇cycloalkyl.

12. A process comprising

contacting compound (III) of the formula



with a formylating agent in a suitable solvent under conditions suitable to form a compound of formula (IV)



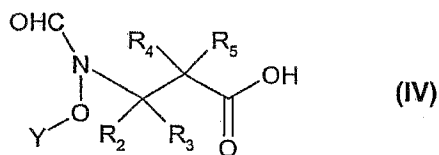
wherein

Y is a hydroxy protecting group; and

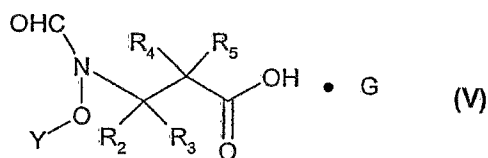
each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇cycloalkyl.

13. A process comprising

contacting compound (IV) of the formula



with an amine or an alkaline metal hydroxide in a suitable solvent under conditions to form a compound of formula (V)



wherein

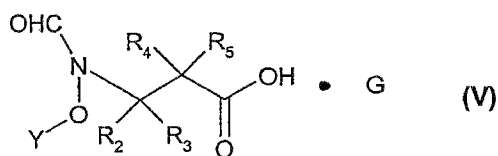
Y is a hydroxy protecting group;

each of R_2 , R_3 , R_4 and R_5 is, independently, hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl; and

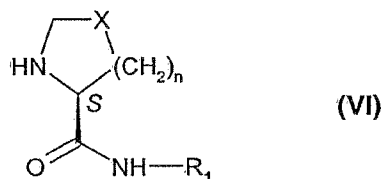
G is $-O^{\ominus}\text{metal}^{\oplus}$ or $-OH\cdot\text{amine}$.

14. A process comprising

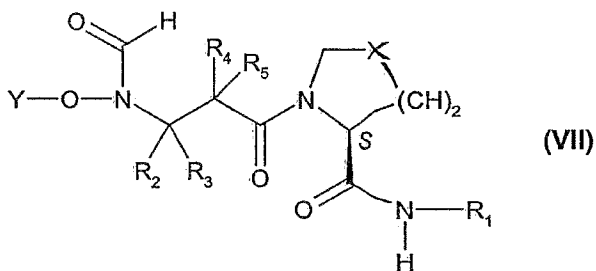
contacting compound (V) of the formula



with a compound of formula (VI)



in the presence of a suitable base and one or more coupling agents in a suitable solvent under conditions to form a compound of formula (VII)



wherein

Y is a hydroxy protecting group;

each of R_2 , R_3 , R_4 and R_5 is, independently, hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl;

G is $-O^{\ominus}\text{metal}^{\oplus}$ or $-OH\cdot\text{amine}$;

X is $-\text{CH}_2-$, $-\text{S}-$, $-\text{CH}(\text{OH})-$, $-\text{CH}(\text{OR})-$, $-\text{CH}(\text{SH})-$, $-\text{CH}(\text{SR})-$, $-\text{CF}_2-$, $-\text{C}=\text{N}(\text{OR})-$ or $-\text{CH}(\text{F})-$;

R is alkyl;

R_1 is aryl or heteroaryl; and

n is 0-3, provided that when n is 0, X is $-\text{CH}_2-$.